

(19) JAPANESE PATENT OFFICE (JP) (11) Japanese Unexamined Patent Application  
**(Kokai) No. Sho 63-135310**

**(12) Official Gazette for Unexamined Patent Applications (A)**

(51) Int.Cl.<sup>4</sup> Ident. Symbols Internal Office Nos. (43) Disclosure Date: 7 June 1988

**A 61 K 7/00** 7306-4C

Request for Examination: Not yet requested Number of Inventions: 1 (Total of 5 pages)

(54) Title of the Invention: Cosmetic

(21) Application No.: Sho 61-283991

(22) Application Date: 27 November 1986

(72) Inventor: Hitoshi Saga, 784-1 Shibusawa, Hadano-shi, Kanagawa-ken

(72) Inventor: Haruo Sumiya, 2-3-5 Kugenuma Sakura-ga-oka, Fujisawa-shi, Kanagawa-ken

(72) Inventor: Takako Matsumoto, No. 1 Haishi Izumi, 1340-10 Higashi Odake, Isehara-shi, Kanagawa-ken

(71) Applicant: Lion Company, Ltd., 3-7 Honjo 1-chome, Sumida-ku, Tokyo-to

(74) Agent: Takashi Kojima, Patent Attorney

## SPECIFICATION

### 1. Title of the Invention

Cosmetic

### 2. Claim

A cosmetic characterized in that components of the plants of the genus *Sorghum* of the family *Gramineae* are compounded in it.

### 3. Detailed Description of the Invention

#### Field of Industrial Use

This invention relates to a cosmetic that has an excellent beautifying effect, stability to storage and high safety for skin.

#### Prior Art

Increase in active melanocytes occurs due to darkening of the skin by sunburn, liver-spots, and freckles, and, in general, due to hormonal abnormalities and irritation by ultraviolet rays. Moreover, melanin, which is a colored pigment, is released and increases in skin tissues because of these effects.

For this reason, various compounds that inhibit melanin production have been proposed as substances

for improving these skin problems and for creating a beautifying effect, for example ascorbic acid and esters thereof and hydroquinone and derivatives thereof, that reduce melanin precursors and inhibit melanin production (Japanese Patent Application Early Disclosure Nos. Sho 58-15450 [1983], 61 [1986]-207306, 207312, 210007, 210008), glutathione and cysteine, which inhibit the activity of the enzyme tyrosinase, colloidal sulfur, which exfoliates keratin and bleaches melanin, and sugar pigment, which is a component in brown sugar (Japanese Patent Sho 59-48809 [1984]). These compounds can be used in a form in which they are added to cosmetics such as creams, ointments and lotions and are applied to the skin.

#### Problems the Invention is Intended to Solve

However, when the above-described compounds are compounded and used in various types of cosmetics, there are the drawbacks that ascorbic acid, glutathione and cysteine are of inferior storage stability and that the beautifying action of colloidal sulfur is weak. In addition, although hydroquinone exhibits excellent melanin-inhibiting action, there are problems of safety for the skin, including such side effects as skin irritation due to oxidation products of hydroquinone and

piebald skin decolorization because the production of melanin, which should regularly be present in the skin, is inhibited. Moreover, because yields from sugarcane, which is the raw material of sugar pigment, are extremely low, these compounds are not advantageous industrially.

Consequently, there is a desire for the development of a beautifying agent suited to compounding with cosmetics that has a superior beautifying effect, that exhibits storage stability and that is of high safety.

This invention was developed in the light of the above-described circumstances and has the objective of providing a cosmetic having a superior beautifying effect, and, in addition, exhibiting stability to storage, high safety for the skin and excellent industrial production capability.

#### Means for Solving the Problems and Action

For the purpose of achieving the above-described objective, the inventors screened the components of various plants and conducted intensive and repeated research on their beautifying effects. As the result, they discovered that components of plants of the genus *Sorghum* of the family *Gramineae*, which are generally called sorghum and which have long been used as animal feed, exhibit a high inhibitory ratio against active melanocytes and are of high stability to storage and safety for the skin. In particular, it was discovered that water and alcohol extracts of plants of the genus *Sorghum* of the family *Gramineae* have additional superior beautifying effects and that these components when subjected to active carbon treatment are excellent from the standpoint of color tone and beautifying effect. This invention was achieved on this basis.

We shall now describe this invention in further detail.

The plants of the genus *Sorghum* of the family *Gramineae* that are used in this invention are generally called sorghum and have long been used as feed. Specific examples include *Sorghum vulgare* and varieties thereof, *S. halepensis* and varieties thereof, *S. vigatum* and varieties thereof, *S. nitidum* and hybrids of these plants of the genus *Sorghum*. In this invention, they can be used individually and in combinations of two or more.

In this invention, juices that are obtained by pressing the above described plants may be compounded, or extract solutions that are obtained by subjecting these plants to extraction with extraction solutions may also be compounded like the components of plants of the genus *Sorghum* of the family *Gramineae*.

Standard methods may be used as the method of extraction of these plants. For example, an extract solution can easily be obtained by a method in which

an extraction solvent such as water or a lower alcohol is added to a plant that is in the form of chips and the plant is thoroughly pulverized using a mixer, after which the material is filtered to remove the plant fragments.

According to this invention, when this extract solution is compounded with the cosmetic, the extract solution may be compounded in unaltered form or it may be compounded after it has been concentrated or the solvent is completely removed and the residue is dried.

In this case, there are no limitations on the extract solvent. For example, water, a lower alcohol, an ether, acetone or petroleum ether can be used. However, the use of polar solvents such as water, lower alcohols and lower alcohols containing water is particularly desirable. The lower alcohols that can be used are methanol, ethanol and isopropanol. Two or more may be used as mixed solvents. In addition, the lower alcohol containing water may be a mixture of lower alcohol containing water and water in a weight ratio of 50 : 50 ~ 95 : 5, with a proportion of 60 : 40 ~ 90 : 10 being particularly desirable.

There are no particular restrictions on the quantity of extraction solvent. However, an amount on the order of 0.5 to 10 times the weight of live plant is desirable.

Moreover, subjecting the juice or extract to active carbon treatment or other treatments such as, for example, decolorization treatment using active kaolin is desirable from the standpoint of beautifying effect and color tone of the extract. In this case, it is desirable that the quantity of decolorization agent such as active carbon that is added be on the order of 0.0001 to 1% by weight relative to the live plant.

The cosmetic of this invention is suited to various cosmetics. For example, it can be a cream such as an emollient cream, a vanishing cream or a foundation cream, a lotion such as an emollient lotion, a foundation lotion or an astringent lotion, a soap, a pack, a compact powder, or, in addition, cosmetics and ointments for use on the skin.

In addition, the cosmetics of this invention can also be prepared in the forms of aqueous solutions, alcoholic solutions, multilayer emulsions of the W/O emulsion type, O/W emulsion type and W/O/W dispersions, or as powders, sticks, sprays and pastes.

Furthermore, in addition to the component of plants of the genus *Sorghum* of the family *Gramineae*, other known ingredients can be compounded as ingredients of the cosmetics of this invention according to the type of cosmetic that is to be prepared. For example, distilled water, deionized water, polyvalent alcohols such as ethanol, isopropyl alcohol, glycerol, polypropylene glycol, polyethylene glycol, sorbitol and polyvinyl alcohol, animal fats and oils, plant fats and oils, mineral oils, hardened oils, waxes such as

carnauba wax and beeswax, higher hydrocarbons such as liquid paraffin and paraffin wax, fatty acids such as stearic acid, emulsifying agents, surfactants including anionic surfactants, cationic surfactants, nonionic surfactants and amphoteric surfactants, water-soluble polymer compounds such as tragacanth gum, xanthan gum, sodium alginate, methyl cellulose, hydroxyethyl cellulose, sodium carboxymethyl cellulose and carboxyvinyl polymers, talc, kaolin, calcium carbonate, calcium hydrogen phosphate, starch and other nontoxic carriers can be selected and used depending on the type of cosmetic. Perfumes, flavors, sweeteners and preservatives can be compounded depending on requirements. In addition, other effective components such as vitamins, hormones, antihistamines, astringents, enzymes, allantoin, hinokitiol,  $\beta$ -cholesterol, ultraviolet ray absorbers and microbicial agents may also be compounded with the cosmetic.

#### Effect of the Invention

As described above, the cosmetic of this invention, as the result of compounding of plants of the genus *Sorghum* of the family *Gramineae* that exhibit a high melanocyte inhibitory ratio and that have a superior inhibitory effect on melanin production, has a superior beautifying effect, and, in addition, exhibits stability to storage and high safety to the skin and has excellent industrial production capability.

We shall now describe this invention more specifically by presenting a working example.

#### [Working Example 1]

2.7 kg live stems of *Sorghum vulgare* var *saccharatum* was pulverized and extraction was performed with 10 liters water, after which the material was filtered and the extraction solution was collected. The water was then removed under reduced pressure and the material was dried, with 92.07 g of a brown powder having an amino acid odor being obtained (yield: 3.41% relative to the live stems; Product 1 of this invention). Extraction with water was carried out for 2 hours with stirring at room temperature.

In addition, 810 mg (0.03 % by weight relative to the live stems) activated carbon was added to the aqueous extract and it was stirred for 30 minutes at room temperature, after which it was filtered and the active carbon was removed. The filtrate was then evaporated to dryness at 50°C under reduced pressure; 90.23 g of a brown viscous substance was obtained (yield: 3.30% relative to the live stems; Product 2 of this invention).

Further, 300 ml ethanol was added to a product that had been subjected to aqueous extraction as described above and to activated carbon treatment and the material was stirred for 2 hours at room temperature, after which it was filtered and a filtrate was obtained. The ethanol was removed and the

residue was dried under reduced pressure, with 5.5 g of a pale brown viscous substance being obtained (yield, 0.21% relative to the live stems; Product 3 of this invention).

In addition, 30 ml ethanol was added to a water extract of the above described sorghum syrup and the mixture was stirred for 2 hours at room temperature, after which it was filtered and a solution obtained. The ethanol was removed and the residue was dried under reduced pressure; 575 mg of a brown powder was obtained (yield: 0.42% relative to the live stems; Product 4 of this invention). This product was dissolved in 50% ethanol, 6 mg (1% relative to Product 4 of this invention) activated carbon was added and the material was stirred for 30 minutes at room temperature, after which it was filtered to remove the active carbon. The filtrate was dried under reduced pressure at 50°C; 440 mg of a milk-white powder was obtained (yield: 0.32% relative to the live stems; Product 5 of this invention).

1 liter ethanol containing 50% water was added to 100 g dried sorghum chips and extraction was performed for 2 hours at 50°C. After extraction was completed, the material was filtered to remove the plant fragments, the extraction solution that was obtained was evaporated under reduced pressure and 4.5 g of a reddish-brown viscous extract was obtained (yield: 2.44% relative to the live stems; Product 6 of this invention).

In addition, 1 liter ethanol was added to 100 g sorghum chips, the same treatment as described above was performed and 2.11 g of a reddish-brown viscous extract was obtained (yield: 1.20% relative to the live stems; Product 7 of this invention).

The beautifying effects of the above-described extracts were then studied by the method described below.

#### Method of Evaluation of Beautifying Effect

10% ethanol solutions of the samples (the above-described extracts) were applied in fixed quantities each day for 7 days to shaved regions of C57 Black mice the backs of which had been shaved. During this period, irradiation with 1/2 MED of UV-B ultraviolet rays was carried out. This procedure was performed on ten C57 Black mice. After irradiation was completed, two strips on the left and right of the shaved region on the back of each mouse were collected to give a total of 20 samples. The number of dopa-positive melanocytes per 1 m<sup>2</sup> was determined and the active melanocyte inhibition ratios (%) were found relative to the controls. Water was used as a control for products 1 and 2 of this invention and ethanol was used for products 3 to 7 of this invention.

The results are shown in Table 1. Results are also shown in Table 1 for a 10% solution of sugar pigment as described in Japanese Patent No. Sho 59-48809

[1984] (Comparative Example 1), a 10% solution of commercial ascorbic acid phosphoric acid ester (Comparative Example 2) and a 10% solution of commercial hydroquinone (Comparative Example 3). The results were subjected to one-way layout dispersion variance analysis that was repeated 80 times.

Table 1

		Extraction method	Properties	Yield/live stems (%)	Beautifying effect	
					Active melanocyte count (cells/m <sup>2</sup> )	Inhibition ratio
Product of this invention	1	Aqueous extraction	Brown powder, amino acid odor	3.41	98.7 ± 8.1	17.2*
	2	Aqueous extraction + active carbon treatment	Brown viscous fluid	3.30	94.7 ± 6.0	20.5**
	3	Aqueous extraction + active carbon treatment + ethanol extraction	Pale brown viscous fluid	0.21	91.5 ± 7.0	28.0**
	4	Aqueous extraction + ethanol extraction	Yellow powder	3.41	90.2 ±7.21	29.0**
	5	Aqueous extraction + ethanol extraction + active carbon treatment	Milk-white powder	3.30	93.1 ± 6.5	26.7**
	6	50% ethanol extraction	Reddish-brown viscous fluid, amino acid odor	2.44	100.7 ± 9.9	20.8*
	7	Ethanol extraction	Reddish-brown viscous fluid	1.20	99.2 ± 9.3	21.9*
Com-parison products	1	Sugar pigment	-	-	96.1 ± 6.9	24.4**
	2	Ascorbic acid phosphoric acid ester	-	-	102.1 ± 10.3	20.0*
	3	Hydroquinone	-	-	64.0 ±3.61	49.6**
Controls		Water	-	-	119.2 ± 6.5	-
		Ethanol	-	-	127.1 ± 8.8	-

\* Level of significance, 5%

\*\* Level of significance, 1%

[relative to active melanocyte count of controls (water or ethanol)]

From the results in Table 1, it was confirmed that the products of this invention exhibited high active melanocyte inhibition ratios and superior beautifying effects, and, in particular, for the products of this invention, cases in which the water extracts of the plant bodies had undergone activated carbon treatment and extracts that were obtained by alcohol extraction exhibited the highest melanocyte inhibition ratios.

We shall now present specific explanation of this invention by presenting working examples. However, this invention is not limited by these working examples.

#### [Working Example 1] Skin lotion

Glycerol monostearate	1 % by weight
Isopropyl palmitate	3
Lanolin	1
Glycerol	0.1
Parahydroxybenzoic acid methyl ester	0.1
Extract of plant of genus <i>Sorghum</i> of the family <i>Gramineae</i> (Product 3 of this invention)	1
Fragrances, pigments	minute quantities
Water	qsp 100%
Total	100 % by weight

## [Working Example 2] Skin cream

Glycerol monostearate	10 % by weight
Lanolin	2
Glycerol	15
Parahydroxybenzoic acid methyl ester	0.1
Extract of plant of genus <i>Sorghum</i> of the family <i>Gramineae</i> (Product 5 of this invention)	0.5
Fragrances, pigments	minute quantities
Water	qsp 100%
<hr/>	
Total	100 % by weight

## [Working Example 3] Pack

Polyvinyl alcohol	15 % by weight
Glycerol	5
Ethanol	10
Parahydroxybenzoic acid methyl ester	0.1
Extract of plant of genus <i>Sorghum</i> of the family <i>Gramineae</i> (Product 1 of this invention)	1
Fragrances, pigments	minute quantities
Water	remainder
<hr/>	
Total	100 % by weight